L Number	Hits	Search Text	DB	Time stamp
1	7926	((540/578) or (544/122) or (544/124) or	USPAT;	2003/05/06 17:43
		(544/324) or (544/330) or (544/331) or	US-PGPUB;	
		(544/333) or (546/82) or (546/118) or	EPO; JPO;	i
		(546/167) or (546/193) or (546/199) or	DERWENT	•
1		(546/271.7) or (546/273.1) or (546/273.4) or		1 '
		(548/143) or (548/222) or (548/304.7) or		
		(548/305.1) or (548/306.1) or		
		(548/308.1)).CCLS.		
2	8021	((514/215) or (514/234.5) or (514/252.14) or	USPAT;	2003/05/06 17:46
1		(514/252.19) or (514/256) or (514/269) or	US-PGPUB;	
		(514/275) or (514/293) or (514/303) or	EPO; JPO;	1
]		(514/314) or (514/318) or (514/322) or	DERWENT	
		(514/338) or (514/364) or (514/375) or		
		(514/395)).CCLS.		
3 '	13274	' ' ' ' ' ' ' ' ' ' ' ' ' ' ' ' ' ' '	USPAT;	2003/05/06 17:46
		(544/324) or (544/330) or (544/331) or	US-PGPUB;	
		(544/333) or (546/82) or (546/118) or	EPO; JPO;	
		(546/167) or (546/193) or (546/199) or	DERWENT	
		(546/271.7) or (546/273.1) or (546/273.4) or		
		(548/143) or (548/222) or (548/304.7) or		
		(548/305.1) or (548/306.1) or		
		(548/308.1)).CCLS.) or (((514/215) or		
		(514/234.5) or (514/252.14) or (514/252.19)		
		or (514/256) or (514/269) or (514/275) or		
		(514/293) or (514/303) or (514/314) or		·
		(514/318) or (514/322) or (514/338) or		
		(514/364) or (514/375) or (514/395)).CCLS.)		

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  12 13 15 16
ring nodes :
  1 2 3 4 5 6 7 8 9
ring/chain nodes :
  14
chain bonds :
  8-12 12-13 13-14 13-15
ring bonds :
  1-2 1-6 1-9 2-3 3-4 4-5 5-6 6-7 7-8 8-9
exact/norm bonds :
  1-2 1-6 1-9 2-3 3-4 4-5 5-6 6-7 7-8 8-9 8-12 12-13 13-14 13-15
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1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 12:CLASS 13:CLASS

G1:C,N

G2:0,N

16:

Generic attributes :

Saturation

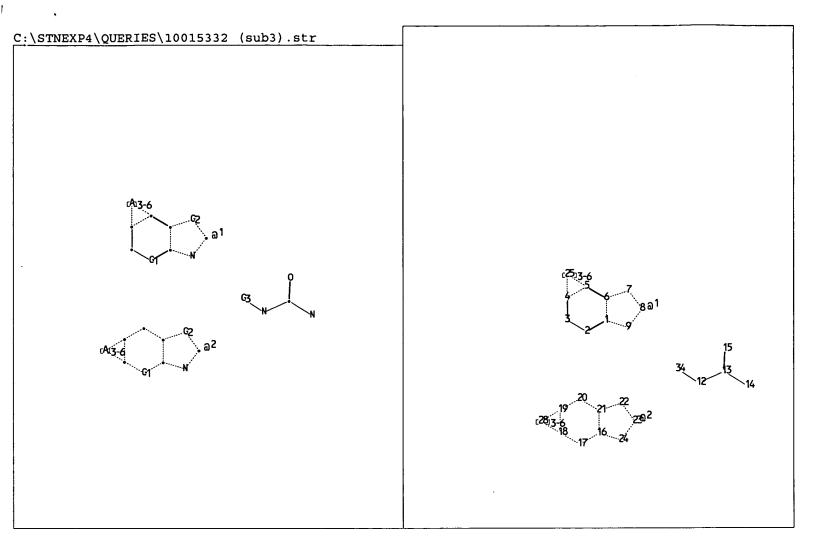
14:CLASS 15:CLASS 16:Atom 17:CLASS

: Unsaturated

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C:\STNEXP4\QUERIES\10015332 (sub2).str
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   12 13 15
ring nodes :
   1 2 3 4 5 6 7 8 9 16
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1 2 3 4 5 6 7 8 9 16
ring/chain nodes:
    14
chain bonds:
    3-16 8-12 12-13 13-14 13-15
ring bonds:
    1-2 1-6 1-9 2-3 3-4 4-5 5-6 6-7 7-8 8-9
exact/norm bonds:
    1-2 1-6 1-9 2-3 3-4 3-16 4-5 5-6 6-7 7-8 8-9 8-12 12-13 13-14 13-15

G1:C,N
G2:O,N
Match level:
    1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:Atom
```



chain nodes :

12 13 15 34

ring nodes :

1 2 3 4 5 6 7 8 9 16 17 18 19 20 21 22 23 24 25 28

ring/chain nodes :

14

chain bonds :

12-13 12-34 13-14 13-15

ring bonds :

1-2 1-6 1-9 2-3 3-4 4-5 4-25 5-6 5-25 6-7 7-8 8-9 16-17 16-21 16-24 17-18

18-19 18-28 19-20 19-28 20-21 21-22 22-23 23-24

exact/norm bonds :

1-2 1-6 1-9 2-3 3-4 4-5 4-25 5-6 5-25 6-7 7-8 8-9 12-13 12-34 13-14 13-15 16-17 16-21 16-24 17-18 18-19 18-28 19-20 19-28 20-21 21-22 22-23 23-24

G1:C,N

G2:0,N

G3:[\*1],[\*2]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 28:Atom 34:CLASS

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C:\STNEXP4\QUERIES\10015332 (sub).str
chain nodes :
   12 13 15
ring nodes :
  1 2 3 4 5 6 7 8 9
ring/chain nodes :
```

```
ring nodes:
    1 2 3 4 5 6 7 8 9

ring/chain nodes:
    14

chain bonds:
    8-12 12-13 13-14 13-15

ring bonds:
    1-2 1-6 1-9 2-3 3-4 4-5 5-6 6-7 7-8 8-9

exact/norm bonds:
    1-2 1-6 1-9 2-3 3-4 4-5 5-6 6-7 7-8 8-9 8-12 12-13 13-14 13-15

G1:C,N

G2:O,N

Match level:
    1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS
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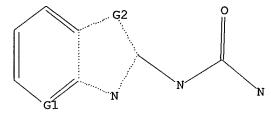
Uploading 10015332.str

L1STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1 C, N

G2 O, N

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam

SAMPLE SEARCH INITIATED 18:59:33 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -73 TO ITERATE

100.0% PROCESSED 73 ITERATIONS 32 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

\*\*COMPLETE\*\* BATCH

PROJECTED ITERATIONS: 948 TO 1972 PROJECTED ANSWERS: 301 TO 979

L2 32 SEA SSS SAM L1

=>

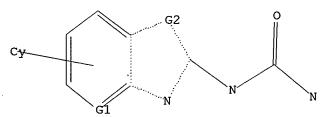
Uploading 10015332.str

L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS

L3 STR



G1 C,N

G2 O, N

Structure attributes must be viewed using STN Express query preparation.

=> s 13 sss sam

SAMPLE SEARCH INITIATED 19:01:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 73 TO ITERATE

100.0% PROCESSED 73 ITERATIONS 11 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 948 TO 1972

PROJECTED ANSWERS: 22 TO 418

L4 11 SEA SSS SAM L3

=> s 13 sss ful

FULL SEARCH INITIATED 19:01:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1622 TO ITERATE

100.0% PROCESSED 1622 ITERATIONS 125 ANSWERS

SEARCH TIME: 00.00.01

L5 125 SEA SSS FUL L3

=> s 15

L6 1 L5

=> d 16 bib,ab,hitstr

```
L6
     ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS
     2002:594826 CAPLUS
AN
DN
     137:140526
ΤI
     Preparation of benzimidazoles as gyrase inhibitors
IN
     Grillot, Anne-Laure; Charifson, Paul; Stamos, Dean; Liao, Yusheng; Badia,
     Michael; Trudeau, Martin
PΑ
     Vertex Pharmaceuticals Incorporated, USA
SO
     PCT Int. Appl., 113 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                        KIND DATE
                                               APPLICATION NO.
                                                                  DATE
                        ____
                         A2
PI
     WO 2002060879
                               20020808
                                               WO 2001-US48855 20011212
                        A3
     WO 2002060879
                              20030327
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              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
              BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                            20001215 { Provisional.
PRAI US 2000-256094P
                       P
     US 2001-275292P
                         Ρ
os
     MARPAT 137:140526
     The title compds. [I; Z = O, NR4; W = N, CRa; Ra = H, halo, CF3, etc.; R1
AB
     = (un)substituted (hetero)aryl; R2, R3 = halo, CN, SR6, OR6, etc.; R4 =
     R6, CONR6, COR6, etc.; R5 = R7, Ar, COAr, etc.; Ar = (un)substituted
     5-membered heteroaryl, heterocyclyl, carbocyclyl; R6 = aryl, aralkyl,
     heteroaryl, etc.; R7 = H, alkyl], useful as inhibitors of bacterial gyrase
     activity for treating bacterial infections in mammals, were prepd.
     treating biphenyl-3,4-diamine with cyanogen bromide in THF/MeOH/H2O
     followed by reacting the resulting 5-phenyl-1H-benzoimidazol-2-ylamine
     with Et isocyanate in THF afforded I [Z = NH; W = CH; R1, R3 = H; R2 = Ph;
     R5 = CONHEt] which showed > 75% the gyrase ATPase inhibition at 10 .mu.M.
     The present invention also relates to methods for decreasing bacterial
     quantity in a biol. sample.
IT
     445012-26-0P 445012-27-1P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
         (prepn. of benzimidazoles as gyrase inhibitors)
ŔŊ
     445012-26-0 CAPLUS
     1H-Imidazole-4-carboxylic acid, 1-[2-[[(ethylamino)carbonyl]amino]-1H-
CN
```

benzimidazol-5-yl]-, methyl ester (9CI) (CA INDEX NAME)

RN 445012-27-1 CAPLUS

CN 1H-Imidazole-4-carboxylic acid, 1-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

```
IT
     445010-93-5P 445010-98-0P 445011-01-8P
     445011-02-9P 445011-08-5P 445011-11-0P
     445011-13-2P 445011-15-4P 445011-16-5P
     445011-17-6P 445011-18-7P 445011-20-1P
     445011-21-2P 445011-22-3P 445011-23-4P
     445011-24-5P 445011-25-6P 445011-26-7P
     445011-27-8P 445011-28-9P 445011-29-0P
     445011-30-3P 445011-31-4P 445011-32-5P
     445011-33-6P 445011-34-7P 445011-35-8P
     445011-36-9P 445011-37-0P 445011-38-1P
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     445011-44-9P 445011-55-2P 445011-56-3P
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     445012-53-3P 445012-54-4P 445012-55-5P
     445012-56-6P 445012-57-7P 445012-58-8P
     445012-59-9P 445012-60-2P 445012-61-3P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
```

(prepn. of benzimidazoles as gyrase inhibitors)

RN 445010-93-5 CAPLUS

CN 1-Piperidinecarboxamide, N-(4-bromo-6-phenyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 445010-98-0 CAPLUS

CN Urea, N-ethyl-N'-[6,7,8,9-tetrahydro-7-methyl-5-(3-pyridinyl)-1H-imidazo[4,5-f]isoquinolin-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-01-8 CAPLUS

CN Urea, N-ethyl-N'-[5-(8-quinolinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-02-9 CAPLUS

CN Urea, N-ethyl-N'-[5-(1H-indol-6-yl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-08-5 CAPLUS

CN Glycine, N-[5-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 445011-11-0 CAPLUS

CN Urea, N-cyclopropyl-N'-[5-(3-pyridinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-13-2 CAPLUS

CN L-Serine, O-(1,1-dimethylethyl)-N-[5-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]-2-pyrimidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 445011-15-4 CAPLUS

CN Urea, N-ethyl-N'-[5-methoxy-6-(3-pyridinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-16-5 CAPLUS

CN Urea, N-ethyl-N'-(4-methyl-6-phenyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 445011-17-6 CAPLUS

CN Urea, N-[5-[2-(cyclopropylamino)-5-pyrimidinyl]-1H-benzimidazol-2-yl]-N'-ethyl- (9CI) (CA INDEX NAME)

RN 445011-18-7 CAPLUS

CN L-Serine, N-[5-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 445011-20-1 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-[[(tetrahydro-2-furanyl)methyl]amino]-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-21-2 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-[(2-pyridinylmethyl)amino]-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-22-3 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-[[(4-methoxyphenyl)methyl]amino]-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CH_2-NH & N & O \\ \hline \\ MeO & N & NH-C-NHEt \end{array}$$

RN 445011-23-4 CAPLUS

CN Urea, N-ethyl-N'-(4-methoxy-6-phenyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 445011-24-5 CAPLUS

CN Urea, N-ethyl-N'-(4-fluoro-6-phenyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 445011-25-6 CAPLUS

CN Urea, N-[5-(2,4-dimethoxy-5-pyrimidinyl)-1H-benzimidazol-2-yl]-N'-ethyl-(9CI) (CA INDEX NAME)

RN 445011-26-7 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-(4-morpholinyl)-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-27-8 CAPLUS

CN Urea, N-[5-(2-amino-5-pyrimidinyl)-1H-benzimidazol-2-yl]-N'-ethyl- (9CI) (CA INDEX NAME)

RN 445011-28-9 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 N - CH_2 - CH_2 - NH - N \\
 N - NH - C - NHET
\end{array}$$

RN 445011-29-0 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-[[(3-fluorophenyl)methyl]amino]-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-30-3 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-(1-pyrrolidinylamino)-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-31-4 CAPLUS

CN Urea, N-ethyl-N'-[4-methyl-6-(3-pyridinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-32-5 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 445011-33-6 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

RN 445011-34-7 CAPLUS

CN Urea, N-[5-[2-[[(3-chloro-4-fluorophenyl)methyl]amino]-5-pyrimidinyl]-1H-benzimidazol-2-yl]-N'-ethyl- (9CI) (CA INDEX NAME)

RN 445011-35-8 CAPLUS

CN Urea, N-ethyl-N'-[5-fluoro-6-(3-pyridinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-36-9 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-[[2-(2-pyridinyl)ethyl]amino]-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-37-0 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-(4-methyl-1-piperazinyl)-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-38-1 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-(1-pyrrolidinyl)-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-39-2 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-(ethylamino)-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-42-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]-2-pyrimidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 445011-43-8 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-(1-piperazinyl)-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-44-9 CAPLUS

CN Urea, N-[5-(1,6-dihydro-6-oxo-3-pyridinyl)-1H-benzimidazol-2-yl]-N'-ethyl-(9CI) (CA INDEX NAME)

RN 445011-55-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-acetyl-1-[2-[[(ethylamino)carbonyl]amino]-1H-imidazo[4,5-b]pyridin-5-yl]- (9CI) (CA INDEX NAME)

RN 445011-56-3 CAPLUS

CN Urea, N-ethyl-N'-(5-phenyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 445011-61-0 CAPLUS

CN Urea, N-(4-bromo-6-phenyl-1H-benzimidazol-2-yl)-N'-ethyl- (9CI) (CA INDEX NAME)

RN 445011-63-2 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-(methylthio)-5-pyrimidinyl]-1H-benzimidazol-2-yl]-(9CI) (CA INDEX NAME)

RN 445011-69-8 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-[(3-pyridinylmethyl)amino]-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & & & & \\ \hline N & & & & & & & & \\ \hline N & & & & & & & \\ \hline N & & & & & & \\ \hline N & & & & & & \\ \hline N & & & & & \\ \end{array}$$

RN 445011-70-1 CAPLUS

CN 1H-Imidazole-4-carboxamide, N-acetyl-1-[2-[[(ethylamino)carbonyl]amino]-1H-imidazo[4,5-b]pyridin-5-yl]- (9CI) (CA INDEX NAME)

RN 445011-71-2 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-[(2-methoxy-1-methylethyl)amino]-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-73-4 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-[(3-methoxypropyl)amino]-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

MeO- (CH<sub>2</sub>)<sub>3</sub>-NH N 
$$\stackrel{\text{N}}{\underset{\text{N}}{|}}$$
 NH- C- NHEt

RN 445011-74-5 CAPLUS

CN Urea, N-ethyl-N'-[4-fluoro-6-(3-pyridinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-75-6 CAPLUS

CN Urea, N-[4-cyano-6-(3-pyridinyl)-1H-benzimidazol-2-yl]-N'-ethyl- (9CI) (CA INDEX NAME)

RN 445011-76-7 CAPLUS

CN 1H-Benzimidazole-4-carboxylic acid, 2-[[(ethylamino)carbonyl]amino]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 445011-77-8 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} \\ \hline \\ N \\ \hline \\ \text{CH}_2\text{-}\text{CH}_2\text{-}\text{NH} \\ \hline \\ N \\ \hline \\ N \\ \end{array}$$

RN 445011-78-9 CAPLUS

CN Urea, N-[4-amino-6-(3-pyridinyl)-1H-benzimidazol-2-yl]-N'-ethyl- (9CI) (CA INDEX NAME)

RN 445011-79-0 CAPLUS

CN Urea, N-ethyl-N'-[4-methoxy-6-(3-pyridinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-80-3 CAPLUS

CN Urea, N-[5-[2-(3,5-dimethyl-1-piperidinyl)-5-pyrimidinyl]-1H-benzimidazol-

2-yl]-N'-ethyl- (9CI) (CA INDEX NAME)

RN 445011-81-4 CAPLUS

CN Urea, N-ethyl-N'-[5-methoxy-6-(2-methoxy-5-pyrimidinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-82-5 CAPLUS

CN Piperazine, 1-acetyl-4-[5-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 445011-85-8 CAPLUS

CN Urea, N-(1-methylethyl)-N'-[5-[2-(methylthio)-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-86-9 CAPLUS

CN Urea, N-cyclopentyl-N'-[5-[2-(methylthio)-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-87-0 CAPLUS

CN Urea, N-ethyl-N'-[5-(3-pyridinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ N & & & \\ & & & \\ N & & \\ \end{array}$$

RN 445011-88-1 CAPLUS

CN Urea, N-propyl-N'-[5-(3-pyridinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-89-2 CAPLUS

CN Urea, N-(1-methylethyl)-N'-[5-(3-pyridinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-90-5 CAPLUS

CN Urea, N-cyclopentyl-N'-[5-(3-pyridinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-92-7 CAPLUS

CN Benzoic acid, 3-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]-(9CI) (CA INDEX NAME)

RN 445011-93-8 CAPLUS

CN Piperazine, 1-[5-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]-2-pyrimidinyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 445011-94-9 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-(4-hydroxy-1-piperidinyl)-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-95-0 CAPLUS

CN Urea, N-[5-(2-amino-5-pyrimidinyl)-6-methoxy-1H-benzimidazol-2-yl]-N'-ethyl- (9CI) (CA INDEX NAME)

RN 445011-96-1 CAPLUS

CN Urea, N-[5-[2-[(cyclopropylmethyl)propylamino]-5-pyrimidinyl]-1H-benzimidazol-2-yl]-N'-ethyl- (9CI) (CA INDEX NAME)

RN 445011-97-2 CAPLUS

CN Urea, N-[5-[2-[(1,3-benzodioxol-5-ylmethyl)amino]-5-pyrimidinyl]-1H-benzimidazol-2-yl]-N'-ethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O \\ \hline O & \\ O & \\ \hline O & \\$$

RN 445011-98-3 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-(3-hydroxy-1-pyrrolidinyl)-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445011-99-4 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-[2-(methoxymethyl)-1-pyrrolidinyl]-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445012-00-0 CAPLUS

CN Urea, N-[5-(2-amino-5-pyrimidinyl)-6-fluoro-1H-benzimidazol-2-yl]-N'-ethyl-(9CI) (CA INDEX NAME)

RN 445012-01-1 CAPLUS

CN Urea, N-ethyl-N'-[5-(6-methoxy-3-pyridinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445012-02-2 CAPLUS

CN Urea, N-ethyl-N'-[5-methyl-6-(3-pyridinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445012-03-3 CAPLUS

CN Urea, N-[4-chloro-6-(3-pyridinyl)-1H-benzimidazol-2-yl]-N'-ethyl- (9CI) (CA INDEX NAME)

RN 445012-04-4 CAPLUS

CN Carbamic acid, [[4-[7-chloro-2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 445012-05-5 CAPLUS

CN Urea, N-ethyl-N'-[5-(6-fluoro-3-pyridinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445012-06-6 CAPLUS

CN Urea, N-[5-[4-(aminomethyl)phenyl]-1H-benzimidazol-2-yl]-N'-ethyl- (9CI) (CA INDEX NAME)

$$H_2N-CH_2$$
 $H$ 
 $N$ 
 $NH-C-NHEt$ 

RN 445012-07-7 CAPLUS

CN Methanesulfonamide, N-[[4-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 445012-08-8 CAPLUS

CN Acetamide, N-[[4-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 445012-09-9 CAPLUS

Urea, N-ethyl-N'-[5-methoxy-6-[2-(2-methoxy-1-methylethoxy)-5-pyrimidinyl]1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ \hline \\ MeO-CH_2-CH-O \\ \hline \\ N \\ \hline \\ MeO \\ \end{array}$$

RN 445012-10-2 CAPLUS

CN Urea, N-ethyl-N'-[6-[2-(2-methoxy-1-methylethoxy)-5-pyrimidinyl]-4-methyl-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445012-11-3 CAPLUS

CN Urea, N-[4,5-dimethyl-6-(3-pyridinyl)-1H-benzimidazol-2-yl]-N'-ethyl-(9CI) (CA INDEX NAME)

RN 445012-12-4 CAPLUS

CN Imidodicarbonic acid, [[5-[2-[[(ethylamino)carbonyl]amino]-lH-benzimidazol-5-yl]-2-pyridinyl]methyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX

NAME)

RN 445012-13-5 CAPLUS

CN Urea, N-[5-[6-(aminomethyl)-3-pyridinyl]-1H-benzimidazol-2-yl]-N'-ethyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H_2N-CH_2 & O \\ \parallel & \parallel \\ N & NH-C-NHEt \end{array}$$

RN 445012-14-6 CAPLUS

CN Acetamide, N-[[5-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

RN 445012-16-8 CAPLUS

CN Urea, N-ethyl-N'-[5-methoxy-6-[2-[(2-methoxy-1-methylethyl)amino]-5-pyrimidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445012-17-9 CAPLUS

CN Urea, N-ethyl-N'-[5-methoxy-4-methyl-6-(3-pyridinyl)-1H-benzimidazol-2-yl]-

## (9CI) (CA INDEX NAME)

RN 445012-18-0 CAPLUS

CN Carbamic acid, [[5-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]-2-pyridinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 445012-19-1 CAPLUS

CN Urea, N-ethyl-N'-[5-(2-methoxyethoxy)-4-methyl-6-(3-pyridinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ N & & & \\ MeO-CH_2-CH_2-O & & \\ & & & \\ Me & & \\ \end{array}$$

RN 445012-20-4 CAPLUS

CN Urea, N-ethyl-N'-[5-(5-methyl-1,3,4-oxadiazol-2-yl)-1H-benzimidazol-2-yl](9CI) (CA INDEX NAME)

RN 445012-21-5 CAPLUS

CN Urea, N-ethyl-N'-[5-(1,3,4-oxadiazol-2-yl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445012-22-6 CAPLUS

CN Urea, N-[5-(2,3-difluorophenyl)-1H-benzimidazol-2-yl]-N'-ethyl- (9CI) (CA INDEX NAME)

RN 445012-23-7 CAPLUS

CN Urea, N-[2,2-difluoro-4-(3-pyridinyl)-6H-1,3-dioxolo[4,5-e]benzimidazol-7-yl]-N'-ethyl- (9CI) (CA INDEX NAME)

RN 445012-24-8 CAPLUS

CN Methanesulfonamide, N-[[5-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ N \\ O \end{array}$$

RN 445012-25-9 CAPLUS

CN Urea, N-ethyl-N'-[5-(3-fluorophenyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445012-28-2 CAPLUS

CN Urea, N-[5-(1,6-dihydro-6-oxo-2-pyridinyl)-1H-benzimidazol-2-yl]-N'-ethyl-(9CI) (CA INDEX NAME)

RN 445012-29-3 CAPLUS

CN Urea, N-[5-(6-fluoro-3-pyridinyl)-1H-benzimidazol-2-yl]-N'-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 445012-30-6 CAPLUS

CN Urea, N-ethyl-N'-[5-[2-methyl-4-oxo-3-(phenylmethoxy)-1(4H)-pyridinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445012-31-7 CAPLUS

CN Urea, N-ethyl-N'-[5-(3-hydroxy-2-methyl-4-oxo-1(4H)-pyridinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445012-32-8 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

RN 445012-33-9 CAPLUS

CN Urea, N-[5-(6-ethoxy-3-pyridinyl)-1H-benzimidazol-2-yl]-N'-ethyl- (9CI) (CA INDEX NAME)

RN 445012-34-0 CAPLUS

CN Urea, N-ethyl-N'-[5-(4-morpholinyl)-6-(3-pyridinyl)-1H-benzimidazol-2-yl]-(9CI) (CA INDEX NAME)

RN 445012-35-1 CAPLUS

CN Urea, N-ethyl-N'-[5-(2-hydroxyphenyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445012-36-2 CAPLUS

CN Urea, N-ethyl-N'-[5-[6-(4-morpholinyl)-3-pyridinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445012-37-3 CAPLUS

CN Urea, N-ethyl-N'-[6-(3-pyridinyl)-4-(trifluoromethyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445012-38-4 CAPLUS

CN Urea, N-ethyl-N'-[4-(phenylmethoxy)-6-(3-pyridinyl)-1H-benzimidazol-2-yl]-(9CI) (CA INDEX NAME)

RN 445012-39-5 CAPLUS

CN Urea, N-ethyl-N'-[4-hydroxy-6-(3-pyridinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445012-40-8 CAPLUS

CN Morpholine, 4-[[1-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]-1H-pyrazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 445012-41-9 CAPLUS

CN 1H-Imidazole-4-carboxamide, 1-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 445012-42-0 CAPLUS

CN Morpholine, 4-[[1-[2-[[(ethylamino)carbonyl]amino]-lH-benzimidazol-5-yl]lH-imidazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 445012-43-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 445012-44-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 445012-45-3 CAPLUS

CN Urea, N-ethyl-N'-[5-[6-(1-piperidinyl)-3-pyridinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445012-47-5 CAPLUS

CN Urea, N-ethyl-N'-[5-[6-(1-pyrrolidinyl)-3-pyridinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445012-48-6 CAPLUS

CN Urea, N-ethyl-N'-[5-(1-piperidinyl)-6-(3-pyridinyl)-1H-benzimidazol-2-yl]-(9CI) (CA INDEX NAME)

RN 445012-49-7 CAPLUS

CN Urea, N-ethyl-N'-[5-[6-(3-hydroxy-1-pyrrolidinyl)-3-pyridinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445012-50-0 CAPLUS

CN Urea, N-ethyl-N'-[5-(3-pyridinyl)-6-(1-pyrrolidinyl)-1H-benzimidazol-2-yl]-(9CI) (CA INDEX NAME)

RN 445012-51-1 CAPLUS

CN Urea, N-ethyl-N'-[5-(3-hydroxy-4-oxo-4H-pyran-2-yl)-1H-benzimidazol-2-yl]-(9CI) (CA INDEX NAME)

RN 445012-52-2 CAPLUS

CN Urea, N-ethyl-N'-[5-[6-(4-pentenyloxy)-3-pyridinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

$$H_2C = CH - (CH_2)_3 - O$$
 $H$ 
 $NH - C- NHEC$ 

RN 445012-53-3 CAPLUS

CN Urea, N-ethyl-N'-[4-fluoro-5-methoxy-6-(3-pyridinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 445012-54-4 CAPLUS

CN 1H-Imidazole-4-carboxylic acid, 1-[2-[[(ethylamino)carbonyl]amino]-1H-

imidazo[4,5-b]pyridin-5-yl]-, methyl ester (9CI) (CA INDEX NAME)

RN 445012-55-5 CAPLUS

CN 1H-Imidazole-4-carboxylic acid, 1-[2-[[(ethylamino)carbonyl]amino]-1H-imidazo[4,5-b]pyridin-5-yl]- (9CI) (CA INDEX NAME)

RN 445012-56-6 CAPLUS

CN 1H-Imidazole-4-carboxamide, N-butyl-1-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

RN 445012-57-7 CAPLUS

CN 1H-Imidazole-4-carboxamide, N-cyclopropyl-1-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

RN 445012-58-8 CAPLUS

CN 1H-Imidazole-4-carboxamide, 1-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]-N-2-propenyl- (9CI) (CA INDEX NAME)

$$H_2C = CH - CH_2 - NH - C$$
 $N = N$ 
 $N = N$ 

RN 445012-59-9 CAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-3-carboxylic acid, 1-[2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

RN 445012-60-2 CAPLUS

CN Urea, N-[5-[6-(2-ethoxyethoxy)-3-pyridinyl]-1H-benzimidazol-2-yl]-N'-ethyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{EtO-CH}_2-\mathsf{CH}_2-\mathsf{O} \\ & & \\ \mathsf{N} \\ & & \\ \mathsf{$$

RN 445012-61-3 CAPLUS

CN Urea, N-[5-[6-(3-amino-1-pyrrolidinyl)-3-pyridinyl]-1H-benzimidazol-2-yl}-N'-ethyl- (9CI) (CA INDEX NAME)

=> d his

(FILE 'HOME' ENTERED AT 18:59:06 ON 01 MAY 2003)

FILE 'REGISTRY' ENTERED AT 18:59:10 ON 01 MAY 2003

STRUCTURE UPLOADED L1

L2 32 S L1 SSS SAM

STRUCTURE UPLOADED L3

11 S L3 SSS SAM L4 125 S L3 SSS FUL

FILE 'CAPLUS' ENTERED AT 19:02:08 ON 01 MAY 2003

1 S L5 L6

FILE 'CAOLD' ENTERED AT 19:02:37 ON 01 MAY 2003

=> s 15

0 L5 L7

Uploading 10015332 (sub).str

STRUCTURE UPLOADED

=> s 18 sub=15 sss sam

SAMPLE SUBSET SEARCH INITIATED 19:03:58 FILE 'REGISTRY' SAMPLE SUBSET SCREEN SEARCH COMPLETED - 11 TO ITERATE

100.0% PROCESSED 11 ITERATIONS 11 ANSWERS

SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET): ONLINE \*\*COMPLETE\*\* PROJECTIONS (WITHIN STECTIONS (WITHIN SPECIFIED SUBSET):

PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):

22 TO 418

L9 11 SEA SUB=L5 SSS SAM L8

=> s 18 sss sam

SAMPLE SEARCH INITIATED 19:04:08 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 73 TO ITERATE

100.0% PROCESSED 73 ITERATIONS 32 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\* BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

948 TO 1972 301 TO 979 PROJECTED ANSWERS:

L10 32 SEA SSS SAM L8

=> s 18 sss ful

FULL SEARCH INITIATED 19:04:17 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1622 TO ITERATE

100.0% PROCESSED 1622 ITERATIONS 660 ANSWERS

SEARCH TIME: 00.00.01

L11 660 SEA SSS FUL L8

=> s 111 not 15

L12 535 L11 NOT L5

=> s 112

L13 136 L12

=>

Uploading 10015332 (sub2).str

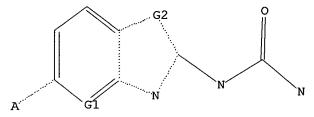
L14 STRUCTURE UPLOADED

=> d 114

L14 HAS NO ANSWERS

L14

STR



G1 C, N

G2 O, N

Structure attributes must be viewed using STN Express query preparation.

=> s 114 sub=111 sss sam

SAMPLE SUBSET SEARCH INITIATED 19:07:03 FILE 'REGISTRY' SAMPLE SUBSET SCREEN SEARCH COMPLETED - 32 TO ITERATE

100.0% PROCESSED 32 ITERATIONS 11 ANSWERS

SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET): ONLINE \*\*COMPLETE\*\*
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET): 301 TO 979
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET): 22 TO 418

L15 11 SEA SUB=L11 SSS SAM L14

=> s l14 sub=l11 sss ful

FULL SUBSET SEARCH INITIATED 19:08:18 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 660 TO ITERATE

100.0% PROCESSED 660 ITERATIONS 126 ANSWERS

SEARCH TIME: 00.00.01

L16 126 SEA SUB=L11 SSS FUL L14

=> s 116 not 15

L17 1 L16 NOT L5

=>

Uploading 10015332 (sub3).str

L19 STRUCTURE UPLOADED

=> d 119 L19 HAS NO ANSWERS L19 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s 119 sub=111 sss sam

SAMPLE SUBSET SEARCH INITIATED 19:14:11 FILE 'REGISTRY'

SAMPLE SUBSET SCREEN SEARCH COMPLETED - 32 TO ITERATE

100.0% PROCESSED 32 ITERATIONS 0 ANSWERS SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET): ONLINE \*\*COMPLETE\*\*
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET): 301 TO 979
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET): 0 TO 0

L20 0 SEA SUB=L11 SSS SAM L19

=> s 119 sub=111 sss ful FULL SUBSET SEARCH INITIATED 19:14:25 FILE 'REGISTRY' FULL SUBSET SCREEN SEARCH COMPLETED - 660 TO ITERATE

100.0% PROCESSED 660 ITERATIONS 15 ANSWERS SEARCH TIME: 00.00.01

L21 15 SEA SUB=L11 SSS FUL L19

=> s 121

L22 5 L21

=> d 122 1-5 bib,ab,hitstr

```
ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS
1.22
     2002:594826 CAPLUS
AN
     137:140526
DN
     Preparation of benzimidazoles as gyrase inhibitors
ΤI
     Grillot, Anne-Laure; Charifson, Paul; Stamos, Dean; Liao, Yusheng; Badia,
IN
     Michael; Trudeau, Martin
PA
     Vertex Pharmaceuticals Incorporated, USA
SO
     PCT Int. Appl., 113 pp.
     CODEN: PIXXD2
     Patent
DT
LА
     English
FAN.CNT 1
                                               APPLICATION NO. DATE
                        KIND DATE
     PATENT NO.
                        ____
                               _____
     WO 2002060879
                         A2
                               20020808
                                                WO 2001-US48855 20011212
ΡI
     WO 2002060879
                         А3
                               20030327
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2000-256094P
                               20001215
                        Р
                          Р
                               20010313
     US 2001-275292P
     MARPAT 137:140526
OS
     The title compds. [I; Z = O, NR4; W = N, CRa; Ra = H, halo, CF3, etc.; R1
AΒ
     = (un)substituted (hetero)aryl; R2, R3 = halo, CN, SR6, OR6, etc.; R4 =
     R6, CONR6, COR6, etc.; R5 = R7, Ar, COAr, etc.; Ar = (un)substituted
     5-membered heteroaryl, heterocyclyl, carbocyclyl; R6 = aryl, aralkyl,
     heteroaryl, etc.; R7 = H, alkyl], useful as inhibitors of bacterial gyrase
     activity for treating bacterial infections in mammals, were prepd.
     treating biphenyl-3,4-diamine with cyanogen bromide in THF/MeOH/H2O
     followed by reacting the resulting 5-phenyl-1H-benzoimidazol-2-ylamine
     with Et isocyanate in THF afforded I [Z = NH; W = CH; R1, R3 = H; R2 = Ph;
     R5 = CONHEt] which showed > 75% the gyrase ATPase inhibition at 10 .mu.M.
     The present invention also relates to methods for decreasing bacterial
     quantity in a biol. sample.
     445010-98-0P 445012-23-7P
IT
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
      (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
      (Uses)
         (prepn. of benzimidazoles as gyrase inhibitors)
RN
     445010-98-0 CAPLUS
     Urea, N-ethyl-N'-[6,7,8,9-tetrahydro-7-methyl-5-(3-pyridinyl)-1H-
CN
```

imidazo[4,5-f]isoquinolin-2-yl]- (9CI) (CA INDEX NAME)

RN 445012-23-7 CAPLUS

CN Urea, N-[2,2-difluoro-4-(3-pyridinyl)-6H-1,3-dioxolo[4,5-e]benzimidazol-7-yl]-N'-ethyl- (9CI) (CA INDEX NAME)

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ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS
     1999:566045 CAPLUS
AN
     131:199702
DN
ΤI
     Preparation of imidazoquinazoline derivatives or analogs thereof for
     treatment of erectile dysfunction
     Onoda, Yasuo; Takami, Hitoshi; Seishi, Takashi; Machii, Daisuke; Nomoto,
IN
     Yuji; Takai, Haruki; Okumura, Hiroshi; Ohno, Tetsuji; Yamada, Koji;
     Ichimura, Michio
PA
     Kyowa Hakko Kogyo Co., Ltd., Japan
     PCT Int. Appl., 100 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     Japanese
FAN.CNT 1
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PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_ WO 9943674 WO 1999-JP920 19990226 A1 19990902 PΙ W: AU, BG, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE AU 9926411 A1 19990915 AU 1999-26411 19990226 PRAI JP 1998-48329 19980227 19990226

WO 1999-JP920 19 OS MARPAT 131:199702

- The title compds. I [R1, R2 = H, (un)substituted alkyl, etc.; R3 = H, (un)substituted alkyl, etc.; Y represents N or CH; X1X2X3 represents N:NNR7, NHC(:NCN)NR7, etc.; R7 = H, (un)substituted alkyl, etc.] are prepd. Formulations contg. a compd. of this invention are given. I have a potent and selective cGMP-specific phosphodiesterase (PDE) inhibitory effect and are useful in treating or relieving sexual impotence, etc. The title compd. I.2HCl [X1X2X3 = NHC(:S)N(Et); Y = N; R1 =
- 4-dimethylaminobenzyl; R2 = H; R3 = methyl] in vitro at 1 nM gave 86% inhibition of PDE V.
- IT 241815-57-6P 241815-58-7P
  - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
    - (prepn. of imidazoquinazoline derivs. or analogs thereof for treatment of erectile dysfunction)
- RN 241815-57-6 CAPLUS
- CN Urea, [3-ethyl-8-[(2-pyridinylmethyl)amino]-3H-imidazo[4,5-g]quinazolin-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

## ●2 HCl

RN 241815-58-7 CAPLUS

CN Urea, [3-ethyl-8-[(2-pyridinylmethyl)amino]-3H-imidazo[4,5-g]quinazolin-2-yl]- (9CI) (CA INDEX NAME)

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS

AN 1979:137814 CAPLUS

DN 90:137814

TI N-Heterocyclic ureas as immune regulants

IN Paget, Charles J.; Sands, John L.

PA Lilly, Eli, and Co., USA

SO U.S., 13 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

FAN.C	INT 2				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 4088768	Α	19780509	US 1976-651322	19760121
	CA 966124	<b>A</b> 1	19750415	CA 1970-73189	19700127
	US 4153709	Α	19790508	US 1977-843775	19771020
	US 4171364	Α	19791016	US 1978-965358	19781130
	US 4208419	Α	19800617	US 1978-965357	19781130
PRAI	US 1969-794756	•	19690128		
	US 1971-185823		19711001		
	US 1975-574991		19750506		
	US 1973-398607		19730919		
	US 1975-574997		19750506		
	US 1976-651322		19760121		
	US 1977-843775		19771020		

AB The urea I (X = NH, R = H, R1 = Ph, R2 = 6-OMe) was used as immunosuppressant. I (X = NH, NPh, NMe, O, S; R = H, Me; R1 = Ph, substituted Ph, naphthyl; R2 = H, Cl, CO2Et, CF3, 5,6-Me2, NO2, benzo, OMe) (144 compds.) were prepd. Thus, 2-aminobenzimidazole was treated with 1-naphthyl isocyanate to give I (X = NH, R = R2 = H, R1 = 1-naphthyl) which at 3.1 mg/kg i.p. 3 times in mice gave 4-fold decrease in hemagglutination titer.

IT 24370-18-1P 24370-19-2P 24370-44-3P 24370-45-4P 24370-46-5P 24370-47-6P 24370-48-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and immunosuppressant activity of)

RN 24370-18-1 CAPLUS

CN Urea, N-(3-chlorophenyl)-N'-1H-naphth[1,2-d]imidazol-2-yl- (9CI) (CA INDEX NAME)

RN 24370-19-2 CAPLUS

CN Urea, N-(4-fluorophenyl)-N'-1H-naphth[1,2-d]imidazol-2-yl- (9CI) (CA INDEX NAME)

RN 24370-44-3 CAPLUS

CN Urea, N-1H-naphth[2,3-d]imidazol-2-yl-N'-phenyl- (9CI) (CA INDEX NAME)

RN 24370-45-4 CAPLUS

CN Urea, N-1-naphthalenyl-N'-1H-naphth[2,3-d]imidazol-2-yl- (9CI) (CA INDEX NAME)

RN 24370-46-5 CAPLUS

CN Urea, N-(4-fluorophenyl)-N'-1H-naphth[2,3-d]imidazol-2-yl- (9CI) (CA INDEX NAME)

RN 24370-47-6 CAPLUS

CN Urea, N-1H-naphth[1,2-d]imidazol-2-yl-N'-phenyl- (9CI) (CA INDEX NAME)

RN 24370-48-7 CAPLUS

CN Urea, N-1-naphthalenyl-N'-1H-naphth[1,2-d]imidazol-2-yl- (9CI) (CA INDEX NAME)

L22 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS

AN 1970:487920 CAPLUS

DN 73:87920

TI Antiviral and immunization reaction-suppressing compounds containing an N-heterocyclic urea compound

IN Paget, Charles J., Jr.; Sands, John L.

PA Lilly, Eli, and Co.

SO Ger. Offen., 43 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 2

IAN.ONI E								
		PAT	TENT NO.	KIND	DATE	AP	PLICATION NO.	DATE
	PI	DE	2003841	Α	19700730	DE	1970-2003841	19700128
		DE	2003841	B2	19730906			
		DE	2003841	C3	19740411			
		ZA	7000282	Α	19710825	ZΑ	1970-282	19700116
		BE	744970	Α	19700727	BE	1970-744970	19700127
		CA	966124	A1	19750415	CA	1970-73189	19700127
		FR	2034500	A1	19701211	FR	1970-2955	19700128
		FR	2034500	<b>A</b> 5	19701211			
		GB	1296561	Α	19721115	GB	1970-1296561	19700128
	PRAI	US	1969-794749		19690128			
		US	1969-794756		19690128			
								1 - ( n n 1

AB The title compds. (I) are prepd. by treating II with ClC(:Y)NRR1 and Et3N, or with Y:C:NR. Phys. and pharmacol. data on 216 I were given (Q = unsubstituted, mono- and disubstituted .omicron.-C6H4, 1,2-, 2,3-, and 5,6-naphthylene; Z = NH, NR3, and S; Y = O and S; R2 = H and Me; n = O and 1; m = O and 1; R = cycloalkyl and aryl; R1 = Ph and H).

IT 24370-18-1P 24370-19-2P 24370-31-8P

24370-32-9P 24370-33-0P 24370-44-3P

24370-45-4P 24370-46-5P 24370-47-6P

24370-48-7P 24486-74-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 24370-18-1 CAPLUS

CN Urea, N-(3-chlorophenyl)-N'-1H-naphth[1,2-d]imidazol-2-yl- (9CI) (CA INDEX NAME)

RN 24370-19-2 CAPLUS

CN Urea, N-(4-fluorophenyl)-N'-1H-naphth[1,2-d]imidazol-2-yl- (9CI) (CA INDEX NAME)

RN 24370-31-8 CAPLUS
CN Urea, 1-(5H-1,3-dioxolo[4,5-f]benzimidazol-6-yl)-3-phenyl- (8CI) (CA INDEX NAME)

RN 24370-32-9 CAPLUS

CN Urea, 1-(5H-1,3-dioxolo[4,5-f]benzimidazol-6-yl)-3-(1-naphthyl)- (8CI) (CA INDEX NAME)

RN 24370-33-0 CAPLUS

CN Urea, 1-cyclohexyl-3-(5H-1,3-dioxolo[4,5-f]benzimidazol-6-yl)- (8CI) (CA INDEX NAME)

$$0 \qquad \qquad \begin{array}{c} H \\ N \\ \end{array} \qquad NH-C-NH \\ \end{array}$$

RN 24370-44-3 CAPLUS

CN Urea, N-1H-naphth[2,3-d]imidazol-2-yl-N'-phenyl- (9CI) (CA INDEX NAME)

RN 24370-45-4 CAPLUS

CN Urea, N-1-naphthalenyl-N'-1H-naphth[2,3-d]imidazol-2-yl- (9CI) (CA INDEX NAME)

RN 24370-46-5 CAPLUS

CN Urea, N-(4-fluorophenyl)-N'-1H-naphth[2,3-d]imidazol-2-yl- (9CI) (CA INDEX NAME)

RN 24370-47-6 CAPLUS

CN Urea, N-1H-naphth[1,2-d]imidazol-2-yl-N'-phenyl- (9CI) (CA INDEX NAME)

RN 24370-48-7 CAPLUS

CN Urea, N-1-naphthalenyl-N'-1H-naphth[1,2-d]imidazol-2-yl- (9CI) (CA INDEX NAME)

RN 24486-74-6 CAPLUS

CN Urea, 1-cyclohexyl-3-(1H-naphth[2,3-d]imidazol-2-yl)- (8CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & O \\ \hline N & NH-C-NH \end{array}$$

## 10/015,332

L22 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS

AN 1970:12644 CAPLUS

DN 72:12644

TI Heterocyclic substituted ureas. I. Immunosuppression and virus inhibition by benzimidazolylureas

AU Paget, Charles J.; Kisner, Kiem; Stone, Robert Louis; DeLong, Donald C.

CS Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN, USA

SO Journal of Medicinal Chemistry (1969), 12(5), 1010-15 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

AB In an effort to define the structure-activity relationships (SAR) for immunosuppression and antiviral activity, a series of 1-(benzimidazol-2-yl)-3-substituted-ureas and their close analogs have been synthesized. Many of these compds. display potent immunosuppression in the sheep erythrocyte test in mice, the most effective being approx. 2000 times as active as azathioprine. In addn., these compds. have potent in vivo antiviral activity in several viral diseases. We have defined the antiviral SAR using mice infected with coxsackie vi rus A21.

IT 24370-18-1P 24370-19-2P 24370-31-8P 24370-32-9P 24370-33-0P 24370-44-3P

24370-45-4P 24370-46-5P 24370-47-6P

24370-48-7P 24486-74-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 24370-18-1 CAPLUS

CN Urea, N-(3-chlorophenyl)-N'-1H-naphth[1,2-d]imidazol-2-yl- (9CI) (CA INDEX NAME)

RN 24370-19-2 CAPLUS

CN Urea, N-(4-fluorophenyl)-N'-1H-naphth[1,2-d]imidazol-2-yl- (9CI) (CA INDEX NAME)

RN 24370-31-8 CAPLUS

CN Urea, 1-(5H-1,3-dioxolo[4,5-f]benzimidazol-6-yl)-3-phenyl- (8CI) (CA INDEX NAME)

RN 24370-32-9 CAPLUS

CN Urea, 1-(5H-1,3-dioxolo[4,5-f]benzimidazol-6-yl)-3-(1-naphthyl)- (8CI) (CA INDEX NAME)

RN 24370-33-0 CAPLUS

CN Urea, 1-cyclohexyl-3-(5H-1,3-dioxolo[4,5-f]benzimidazol-6-yl)- (8CI) (CA INDEX NAME)

$$0 \qquad \qquad H \qquad NH-C-NH$$

RN 24370-44-3 CAPLUS

CN Urea, N-1H-naphth[2,3-d]imidazol-2-yl-N'-phenyl- (9CI) (CA INDEX NAME)

RN 24370-45-4 CAPLUS

CN Urea, N-1-naphthalenyl-N'-1H-naphth[2,3-d]imidazol-2-yl- (9CI) (CA INDEX NAME)

RN 24370-46-5 CAPLUS CN Urea, N-(4-fluorophenyl)-N'-1H-naphth[2,3-d]imidazol-2-yl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & O \\ \hline & N \\ \hline & N \\ \end{array}$$

RN 24370-47-6 CAPLUS

CN Urea, N-1H-naphth[1,2-d]imidazol-2-yl-N'-phenyl- (9CI) (CA INDEX NAME)

RN 24370-48-7 CAPLUS

CN Urea, N-1-naphthalenyl-N'-1H-naphth[1,2-d]imidazol-2-yl- (9CI) (CA INDEX NAME)

RN 24486-74-6 CAPLUS

CN Urea, 1-cyclohexyl-3-(1H-naphth[2,3-d]imidazol-2-yl)- (8CI) (CA INDEX NAME)

## => d his

-> u	1112					
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L1 L2 L3 L4 L5		'REGISTRY' ENTERED AT 18:59:10 ON 01 STRUCTURE UPLOADED 32 S L1 SSS SAM STRUCTURE UPLOADED 11 S L3 SSS SAM 125 S L3 SSS FUL	MAY 2003			
L6	FILE	'CAPLUS' ENTERED AT 19:02:08 ON 01 MP 1 S L5	AY 2003			
L7	FILE	'CAOLD' ENTERED AT 19:02:37 ON 01 MAY 0 S L5	Y 2003			
L8 L9 L10 L11	FILE 'REGISTRY' ENTERED AT 19:02:54 ON 01 MAY 2003 STRUCTURE UPLOADED 11 S L8 SSS SAM SUB=L5 32 S L8 SSS SAM 660 S L8 SSS FUL 535 S L11 NOT L5					
L13		'CAPLUS' ENTERED AT 19:04:32 ON 01 MA 136 S L12	AY 2003			
L14 L15 L16 L17		'REGISTRY' ENTERED AT 19:05:35 ON 01 STRUCTURE UPLOADED 11 S L14 SSS SAM SUB=L11 126 S L14 SSS FUL SUB=L11 1 S L16 NOT L5	MAY 2003			
L18	FILE	'CAPLUS' ENTERED AT 19:08:45 ON 01 MA 1 S L17	AY 2003			
L19 L20 L21	0 S L19 SSS SAM SUB=L11					
L22	FILE 'CAPLUS' ENTERED AT 19:14:34 ON 01 MAY 2003 5 S L21					
	FILE	'CAOLD' ENTERED AT 19:15:31 ON 01 MAY	Y 2003			
=> s L23	121	0 L21				
=> lo		S. DOLLARS	SINCE FILE ENTRY			
FULL ESTIMATED COST 0.40 409.46						
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)  SINCE FILE TOTAL ENTRY SESSION						
CA SUBSCRIBER PRICE  0.00  -4.56						

STN INTERNATIONAL LOGOFF AT 19:15:43 ON 01 MAY 2003

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ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS
L18
AN
     2002:594826 CAPLUS
     137:140526
DN
     Preparation of benzimidazoles as gyrase inhibitors
ΤI
     Grillot, Anne-Laure; Charifson, Paul; Stamos, Dean; Liao, Yusheng; Badia,
IN
     Michael; Trudeau, Martin
     Vertex Pharmaceuticals Incorporated, USA
PA
so
     PCT Int. Appl., 113 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                      KIND DATE
     PATENT NO.
                                           APPLICATION NO.
                                                            DATE
     _____
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                           -----
                                           -----
                                                            _____
PΤ
     WO 2002060879
                      A2
                            20020808
                                           WO 2001-US48855 20011212
     WO 2002060879
                       Α3
                            20030327
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2000-256094P
                     P
                            20001215
     US 2001-275292P
                       P
                            20010313
os
     MARPAT 137:140526
AΒ
     The title compds. [I; Z = O, NR4; W = N, CRa; Ra = H, halo, CF3, etc.; R1
     = (un)substituted (hetero)aryl; R2, R3 = halo, CN, SR6, OR6, etc.; R4 =
     R6, CONR6, COR6, etc.; R5 = R7, Ar, COAr, etc.; Ar = (un)substituted
     5-membered heteroaryl, heterocyclyl, carbocyclyl; R6 = aryl, aralkyl,
     heteroaryl, etc.; R7 = H, alkyl], useful as inhibitors of bacterial gyrase
     activity for treating bacterial infections in mammals, were prepd. Thus,
     treating biphenyl-3,4-diamine with cyanogen bromide in THF/MeOH/H2O
     followed by reacting the resulting 5-phenyl-1H-benzoimidazol-2-ylamine
     with Et isocyanate in THF afforded I [Z = NH; W = CH; R1, R3 = H; R2 = Ph;
     R5 = CONHEt] which showed > 75% the gyrase ATPase inhibition at 10 .mu.M.
     The present invention also relates to methods for decreasing bacterial
     quantity in a biol. sample.
IΤ
     445012-46-4P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (prepn. of benzimidazoles as gyrase inhibitors)
RN
     445012-46-4 CAPLUS
CN
     Urea, N-ethyl-N'-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI)
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INDEX NAME)